

10/522671

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



Rec'd PCT/PTO 28 JAN 2005



(43) International Publication Date
12 February 2004 (12.02.2004)

PCT

(10) International Publication Number
WO 2004/013153 A3

- (51) International Patent Classification⁷: **C07H 17/08**,
A61K 31/7048, A61P 29/00
- (21) International Application Number:
PCT/EP2003/008448
- (22) International Filing Date: 29 July 2003 (29.07.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
MI2002A 001726 1 August 2002 (01.08.2002) IT
- (71) Applicant (for all designated States except US): **ZAMBON GROUP S.P.A.** [IT/IT]; Via della Chimica, 9, I-36100 Vicenza (IT).
- (72) Inventors; and
(75) Inventors/Applicants (for US only): **NAPOLETANO, Mauro** [IT/IT]; Via Venini, 37, I-20127 Milano (IT). **MORIGGI, Ermanno** [IT/IT]; Largo Crivelli 5, I-21052 Busto Arsizio (IT). **MEREU, Andrea** [IT/IT]; Via Fratelli Recchi, 9, I-22100 Como (IT). **ORNAGHI, Fernando** [IT/IT]; Via Menaggio, 80/E, I-22010 Carlazzo (IT). **MORAZZONI, Gabriele** [IT/IT]; Via Labriola, 12, I-20020 Lainate (IT). **LONGONI, Roberto** [IT/IT]; Piazza Sant'Anna, 11, I-22070 Locate Varesino (IT). **RIVA, Carlo** [IT/IT]; Via Fugazza, 22, I-22044 Inverigo (IT). **PACCHETTI, Luciano** [IT/IT]; Piazza Sant'Ana, 11, I-22070 Locate Varesino (IT). **PELLACINI, Franco** [IT/IT]; Via G. Balla, 14, I-20151 Milano (IT).
- (74) Agents: **MARCHI, Massimo et al.**; Marchi & Partners S.r.l., Via Pirelli, 19, I-20124 Milano (IT).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:**
— with international search report
— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report:
8 April 2004
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **MACROLIDE COMPOUNDS ENDOWED WITH ANTIINFLAMMATORY ACTIVITY**

(57) Abstract: Macrolide compounds endowed with antiinflammatory activity are described, and more particularly macrolide derivatives lacking cladinose in position 3, with antiinflammatory activity, pharmaceutically acceptable salts thereof and pharmaceutical compositions containing them as active ingredient.

WO 2004/013153 A3

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/08448

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07H17/08 A61K31/7048 A61P29/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07H A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, PAJ, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	FR 2 735 694 A (ROUSSEL UCLAF) 27 December 1996 (1996-12-27) roxithromicine descladinose the whole document	1-3, 10-32
A	WO 00 42055 A (ROMAGNANO STEFANO ;BOTTA DANIELA (IT); MORIGGI ERMANNO (IT); ZAMBO) 20 July 2000 (2000-07-20) the whole document	1,30
X	JP 2001 181294 A (HOKURIKU SEIYAKU CO LTD) 3 July 2001 (2001-07-03) page 34 structure II pages 39,41,49,57	1-19
X	US 5 969 161 A (MAZURIE ALAIN ET AL) 19 October 1999 (1999-10-19) column 5	1-3, 10-17,19
-/--		

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- *G* document member of the same patent family

Date of the actual completion of the international search

25 February 2004

Date of mailing of the international search report

04/03/2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax (+31-70) 340-3016

Authorized officer

de Nooy, A.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/08448

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 3 923 784 A (KIERSTEAD RICHARD W ET AL) 2 December 1975 (1975-12-02) column 5 -column 6 -----	1-3, 10-17,19
X	EP 0 682 038 A (TAISHO PHARMA CO LTD) 15 November 1995 (1995-11-15) page 4 -----	1-3, 10-17,19
X	US 4 743 593 A (HUNT ERIC) 10 May 1988 (1988-05-10) claim 25 column 9 -----	20

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/08448

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
FR 2735694	A	27-12-1996	FR 2735694 A1	27-12-1996
			AU 6362896 A	22-01-1997
			WO 9700684 A1	09-01-1997
WO 0042055	A	20-07-2000	IT MI990061 A1	17-07-2000
			AU 769006 B2	15-01-2004
			AU 2663600 A	01-08-2000
			BR 0007514 A	20-11-2001
			CA 2368400 A1	20-07-2000
			CN 1336932 T	20-02-2002
			WO 0042055 A2	20-07-2000
			EP 1144427 A2	17-10-2001
			HU 0105105 A2	29-04-2002
			JP 2002534531 T	15-10-2002
			NO 20013517 A	16-07-2001
			SK 9812001 A3	07-01-2002
			US 6455576 B1	24-09-2002
			ZA 200105748 A	14-10-2002
JP 2001181294	A	03-07-2001	NONE	
US 5969161	A	19-10-1999	FR 2738571 A1	14-03-1997
			AP 887 A	10-11-2000
			AU 708811 B2	12-08-1999
			AU 6991096 A	01-04-1997
			BG 63822 B1	28-02-2003
			BG 102312 A	30-09-1998
			BR 9610503 A	06-07-1999
			CA 2228670 A1	20-03-1997
			CN 1319602 A	31-10-2001
			CN 1201462 A , B	09-12-1998
			CZ 9800717 A3	15-07-1998
			EA 575 B1	29-12-1999
			EE 9800065 A	17-08-1998
			EP 0854880 A1	29-07-1998
			WO 9710251 A1	20-03-1997
			HK 1016187 A1	01-03-2002
			HU 9802930 A2	28-04-1999
			IL 123550 A	12-09-2002
			JP 11512416 T	26-10-1999
			NO 981053 A	10-03-1998
			NO 20021111 A	10-03-1998
			PL 326274 A1	31-08-1998
			SK 29498 A3	02-12-1998
			TR 9800438 T1	21-05-1998
			ZA 9606837 A	13-08-1997
US 3923784	A	02-12-1975	NONE	
EP 0682038	A	15-11-1995	AU 671899 B2	12-09-1996
			AU 5866094 A	15-08-1994
			CA 2154550 A1	04-08-1994
			EP 0682038 A1	15-11-1995
			WO 9417088 A1	04-08-1994
			US 5602239 A	11-02-1997
US 4743593	A	10-05-1988	EP 0184921 A2	18-06-1986
			JP 61140598 A	27-06-1986